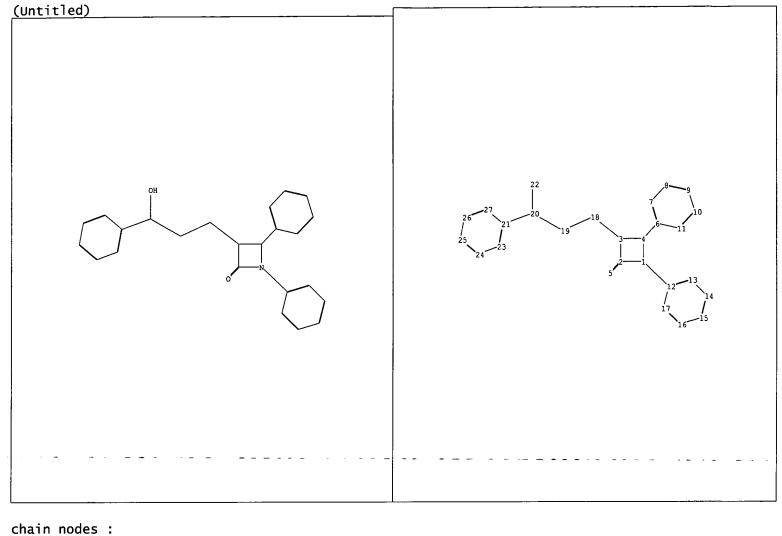
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			US-PGPUB;	
			EPO;	
			DERWENT	
2	4	536/17.4 and azetidinone	USPAT;	2003/09/07 09:56
			US-PGPUB;	1
			EPO;	
			DERWENT	
3	2381	514/23	USPAT;	2003/09/07 09:56
			US-PGPUB;	
			EPO;	
			DERWENT	
4	9	514/23 and azetidinone	USPAT;	2003/09/07 10:02
			US-PGPUB;	
			EPO;	
1_ 1	400	544949.00	DERWENT	0000/00/07 40.00
5	133	514/210.02	USPAT;	2003/09/07 10:03
			US-PGPUB;	
			EPO;	
	62	514/210.02 and azetidinone	DERWENT	2002/00/07 10:02
6	02	514/210.02 and azetidinone	USPAT; US-PGPUB;	2003/09/07 10:03
			· ·	
			EPO; DERWENT	
			DELMENT	



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Chain nodes:
    5 18 19 20 22
ring nodes:
    1 2 3 4 6 7 8 9 10 11 12 13 14 15 16 17 21 23 24 25 26 27
Chain bonds:
    1-12 2-5 3-18 4-6 18-19 19-20 20-21 20-22
ring bonds:
    1-2 1-4 2-3 3-4 6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17 21-23 21-27 23-24 24-25 25-26 26-27
exact/norm bonds:
    1-2 1-4 1-12 2-3 2-5 3-4 20-22
exact bonds:
    3-18 4-6 18-19 19-20 20-21
normalized bonds:
    6-7 6-11 7-8 8-9 9-10 10-11 12-13 12-17 13-14 14-15 15-16 16-17 21-23 21-27 23-24 24-25 25-26 26-27
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Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:CLASS 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS 20:CLASS 21:Atom 22:CLASS 23:Atom 24:Atom 25:Atom 26:Atom 27:Atom

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LOGINID:ssspta1623kxg
PASSWORD:
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Truncation

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NEWS
NEWS
                 "Ask CAS" for self-help around the clock
                 PCTGEN now available on STN
NEWS
      3
         Feb 24
NEWS
         Feb 24
                 TEMA now available on STN
        Feb 26 NTIS now allows simultaneous left and right truncation
NEWS
NEWS
        Feb 26 PCTFULL now contains images
     6
        Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS
     7
NEWS 8 Mar 24 PATDPAFULL now available on STN
NEWS
         Mar 24 Additional information for trade-named substances without
                 structures available in REGISTRY
         Apr 11
NEWS 10
                 Display formats in DGENE enhanced
         Apr 14
                 MEDLINE Reload
NEWS 11
NEWS 12
         Apr 17
                 Polymer searching in REGISTRY enhanced
NEWS 13
         AŪG 22
                Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14
         Apr 21
                 New current-awareness alert (SDI) frequency in
                 WPIDS/WPINDEX/WPIX
NEWS 15
         Apr 28
                 RDISCLOSURE now available on STN
NEWS 16
         May 05
                 Pharmacokinetic information and systematic chemical names
                 added to PHAR
                 MEDLINE file segment of TOXCENTER reloaded
NEWS 17
         May 15
NEWS 18
         May 15
                 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19
         May 19
                 Simultaneous left and right truncation added to WSCA
NEWS 20
         May 19
                 RAPRA enhanced with new search field, simultaneous left and
                 right truncation
NEWS 21
         Jun 06
                 Simultaneous left and right truncation added to CBNB
NEWS 22
        Jun 06
                 PASCAL enhanced with additional data
NEWS 23
        Jun 20
                 2003 edition of the FSTA Thesaurus is now available
NEWS 24
        Jun 25
                HSDB has been reloaded
        Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 25
NEWS 26
        Jul 21
                 Identification of STN records implemented
NEWS 27
         Jul 21
                 Polymer class term count added to REGISTRY
NEWS 28
         Jul 22
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
NEWS 29
                 August 1, 2003
NEWS 30
         AUG 13
                 Field Availability (/FA) field enhanced in BEILSTEIN
        AUG 15
NEWS 31
                 PATDPAFULL: one FREE connect hour, per account, in
                 September 2003
NEWS 32
        AUG 15
                 PCTGEN: one FREE connect hour, per account, in
                 September 2003
NEWS 33
        AUG 15
                 RDISCLOSURE: one FREE connect hour, per account, in
                 September 2003
NEWS 34
        AUG 15
                 TEMA: one FREE connect hour, per account, in
                 September 2003
NEWS 35
        AUG 18
                 Data available for download as a PDF in RDISCLOSURE
NEWS 36
        AUG 18
                 Simultaneous left and right truncation added to PASCAL
NEWS 37
        AUG 18
                 FROSTI and KOSMET enhanced with Simultaneous Left and Right
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NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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FILE 'HOME' ENTERED AT 10:50:17 ON 07 SEP 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 5 SEP 2003 HIGHEST RN 580198-40-9 DICTIONARY FILE UPDATES: 5 SEP 2003 HIGHEST RN 580198-40-9

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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Uploading 10021502-1.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STF

Structure attributes must be viewed using STN Express query preparation.

=> s I1 sss sam

SAMPLE SEARCH INITIATED 10:50:58 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 173 TO 747 PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> d scan

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN D-glycero-D-gulo-Heptitol, 2,6-anhydro-1-O-[3-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl]-2-propenyl]- (9CI)

MF C34 H37 F2 N O8

Absolute stereochemistry. Double bond geometry unknown.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L2 2 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

.beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-[4-[3-[[6-[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)carbonyl]amino]-1-oxohexyl]amino]-1-propynyl]phenyl]-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI)

MF C60 H54 F N3 O16

Absolute stereochemistry.

PAGE 1-A

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s l1 sss full FULL SEARCH INITIATED 10:51:40 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED -- - 488-TO ITERATE-

488 ITERATIONS 218 ANSWERS 100.0% PROCESSED

SEARCH TIME: 00.00.01

218 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 148.95 149.16

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FILE COVERS 1907 - 7 Sep 2003 VOL 139 ISS 11 FILE LAST UPDATED: 5 Sep 2003 (20030905/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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        263931 COMPOSITIONS
        865452 COMPOSITION
                 (COMPOSITION OR COMPOSITIONS)
       1242948 COMPN
        494645 COMPNS
       1519862 COMPN
                 (COMPN OR COMPNS)
       1951035 COMPOSITION
                 (COMPOSITION OR COMPN)
            16 L3 AND COMPOSITION
L4
=> s 14 and (antidiabetic or HMG or PPAR)
         12384 ANTIDIABETIC
          4862 ANTIDIABETICS
         14982 ANTIDIABETIC
                 (ANTIDIABETIC OR ANTIDIABETICS)
          7950 HMG
            93 HMGS
          7970 HMG
                 (HMG OR HMGS)
          3697 PPAR
           534 PPARS
          3762 PPAR
                 (PPAR OR PPARS)
L5
             9 L4 AND (ANTIDIABETIC OR HMG OR PPAR)
--> dis 14 1-16 bib abs hitstr
T.4
     ANSWER 1 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
     2003:633275 CAPLUS
ΔN
DN
     139:169333
     Novel anticholesterol compositions and method for using same
TT
     Dudley, Robert; Liao, Shutsung; Song, Ching
IN
PΑ
     U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 137,695.
SO
     CODEN: USXXCO
DT
     Patent
T.A
     English
FAN.CNT 8
     PATENT NO.
                    KIND DATE
                                        APPLICATION NO. DATE
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     US 2003153541 A1 20030814
WO 9922728 A1 19990514
PΤ
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                                        WO 1998-US23041 19981030
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             DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
             MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
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             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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     US 2002193357
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                                                          20020502
                     A1 20021219
PRAI US 1997-63770P
                     P
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     WO 1998-US23041
                     W
                           19981030
     US 1999-131728P P
                           19990430
                     A2 20000428
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                     A2 20000428
     US 2001-267493P P 20010208
     US 2001-288643P P 20010503
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US 2001-348020P P 20011108
US 2002-72128 A2 20020208
US 2002-137695 A2 20020502
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Disclosed are compns., methods, combinations, and kits for treating a disorder related to elevated serum cholesterol concn., for example, atherosclerosis, elevated LDL plasma levels, low HDL plasma levels, hypertriglyceridemia, hyperlipidemia, hypertension, hypercholesterolemia, cholesterol gallstones, lipid storage diseases, obesity, and diabetes. The compns., methods, combinations, and kits of the present invention are pharmaceutical compns. comprising at least two of an LXR receptor modulator, a therapeutically effective amt. of a catechin, and/or a therapeutically effective amt. of a lipid regulating agent, such as a HMG-COA reductase inhibitor, a fibric acid deriv., niacin, a bile-acid sequestrant, an absorption inhibitor, probucol, raloxifene and its derivs., an azetidinone compd., and an unsatd. omega-3 fatty acid.

IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anticholesterol compns. contg. LXR modulators and lipid regulating agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

ANSWER 2 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

```
AN 2003:511859 CAPLUS
DN 139:90459
TI Use of an immediate-release powder in pharmaceutical and nutraceutical compositions
IN Besse, Jerome; Besse, Laurence
PA Fr.
SO U.S. Pat. Appl. Publ., 5 pp.
CODEN: USXXCO
DT Patent
```

LA English FAN.CNT 1

L4

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PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                             DATE
PΙ
     US 2003124191
                       A1
                            20030703
                                           US 2002-106923
                                                             20020325
     FR 2834212
                       A1
                            20030704
                                           FR 2001-16934
                                                             20011227
     WO 2003055464
                       A1
                            20030710
                                           WO 2002-FR4575
                                                             20021227
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             RU, TJ, TM
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CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI FR 2001-16934 A 20011227

AB The present invention relates to the use of a powder comprising at least one active substance, at least one surfactant, at least one wetting agent and at least one diluent, for prepg. a pharmaceutical or nutraceutical compn., this compn. allowing rapid and immediate release of the active substance. Granules contg. phloroglucinol 10, sorbitol 89, and propylene glycol 1% were prepd.

IT 163222-33-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of immediate-release powder in pharmaceutical and nutraceutical
 compns.)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L4 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2003:492702 CAPLUS

DN 139:47580

TI Combinations of hormone replacement therapy **composition**(s) and sterol absorption inhibitor(s) and treatments for vascular conditions in post-menopausal women

IN Strony, John T.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 166,942. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

T. LTIA .	CIVI						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
							
ΡI	US 2003119796	A1	20030626	US 2002-247085	20020919		
	US 2003105028	A1	20030605	US 2002-166942	20020611		
PRAI	US 2001-324118P	P	20010921				
	US 2002-166942	A2	20020611				
	US 2000-256875P	P	20001220				
	US 2001-23295	A2	20011217				
Λ¢	MADDAT 120.47500						

OS MARPAT 139:47580

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one hormone replacement therapy compn.; and (b) at least one sterol absorption inhibitor which can be useful for treating vascular conditions in post-menopausal women and lowering plasma levels of sterols or 5.alpha.-stanols.

IT 163222-32-OP 163222-33-IP 163380-15-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of sterol absorption inhibitors for the combined use with hormone replacement therapy **compns**. and treatments for vascular conditions in post-menopausal women)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

- L4 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2003:492667 CAPLUS
- DN 139:57965
- TI Methods and therapeutic combinations for the treatment of obesity using

sterol absorption inhibitors

Davis, Harry R.; Ress, Rudyard J.; Strony, John T.; Veltri, Enrico P. IN

PA Schering Corporation, USA

U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 166,942. so CODEN: USXXCO

DT Patent

English LA

FAN.CN	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI U	JS 2003119428	A1	20030626	US 2002-247397	20020919
τ	JS 2003105028	A1	20030605	US 2002-166942	20020611
PRAI U	JS 2001-323840P	P	20010921		
τ	JS 2002-166942	A2	20020611		
τ	JS 2000-256875P	P	20001220		
Ţ	JS 2001-23295	A2	20011217		

os MARPAT 139:57965

The present invention provides methods for the treatment of obesity using AB sterol or 5.alpha.-stanol absorption inhibitors and compns. and therapeutic combinations including sterol or 5.alpha.-stanol absorption inhibitors and at least one obesity control medication. Prepn. of azetidinone derivs. is described. A tablet contained active compd. 102, lactose monohydrate 553, microcryst. cellulose 204, povidone (K29-32) 45, croscarmellose sodium 86, sodium lauryl sulfate 27, and magnesium stearate 1 mg.

IT 163222-33-1P 163380-16-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods and therapeutic combinations for treatment of obesity using sterol absorption inhibitors)

RN 163222-33-1 CAPLUS

2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-CM hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN163380-16-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

IT 163222-32-0P 163380-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(methods and therapeutic combinations for treatment of obesity using sterol absorption inhibitors)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

- L4 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2003:435299 CAPLUS
- DN 139:22062
- TI Preparation of substituted 2-azetidinones and use as hypocholesterolemic agents
- IN Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.;
 Feng, Wenqing; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.
- PA Schering Corporation, USA

U.S. Pat. Appl. Publ., 27 pp., Cont.-in-part of U.S. Ser. No. 23,295. SO

CODEN: USXXCO Patent

DTLA English

GI

FAN.CNT 6									
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
ΡI	US 2003105028	A1	20030605	US 2002-166942	20020611				
	US 2002137690	A1	20020926	US 2001-23295	20011217				
	US 2003119757	A1	20030626	US 2002-247032	20020919				
	US 2003119796	A1	20030626	US 2002-247085	20020919				
	US 2003119428	A1	20030626	US 2002-247397	20020919				
PRAI	US 2000-256875P	P	20001220						
	US 2001-23295	A2	20011217						
	US 2001-323840P	P	20010921						
	US 2001-323937P	P	20010921						
	US 2001-324118P	P	20010921						
	US 2002-166942	A2	20020611						
os	MARPAT 139:22062								

$$Ar^{1}-L \xrightarrow{Q} Q$$

$$R^{2} \qquad Q^{1} = Q$$

$$Q^{1} = Q$$

$$CH_{2}OR^{6}$$

AB The authors report the prepn. of substituted 2-azetidinone compds. I [R1 = H, SO3H, Q1, etc., R3, R4, R5 = H, C1-C6 alkyl, CO-aryl, etc., R6 = H, C1-C6 alkyl, COMe, etc., R8 = H, alkyl, R26 = H, OH, F, etc., Ar1 = aryl, heteroaryl, etc., Ar2 = aryl, heteroaryl, etc., L = covalent bond, CO, phenylene, etc., Q = (CH2)n, n = 2-6, spiro group, etc.], as well as methods of lowering cholesterol by administering said compds., pharmaceutical compns. contq. them, and the combination of a substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 14C-Sch 58235 was converted to the benzylic glucuronide II using UDPGA (uridine diphosphoglucuronosyltransferase) as catalyst.

IT 163222-33-1P, Sch 58235

RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.)

RN 163222-33-1 CAPLUS

CN

2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 190448-57-8, Sch 60663

RL: PAC (Pharmacological activity); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses) (prepn. of azetidinone glucuronide derivs. and their use as hypocholesterolemic agents for treating diabetes, obesity, vascular conditions, and lowering plasma sterol concns.)

RN 190448-57-8 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 438576-93-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of azetidinone glucuronide derivs. and their use as
hypocholesterolemic agents for treating diabetes, obesity, vascular
conditions, and lowering plasma sterol concns.)

RN 438576-93-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, labeled with carbon-14, (3R,4S)-(9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2002:868252 CAPLUS

DN 138:348551

TI Inhibition of cholesterol absorption by SCH 58053 in the mouse is not mediated via changes in the expression of mRNA for ABCA1, ABCG5, or ABCG8 in the enterocyte

AU Repa, Joyce J.; Dietschy, John M.; Turley, Stephen D.

CS Department of Pharmacology, University of Texas Southwestern Medical Center, Dallas, TX, 75390, USA

SO Journal of Lipid Research (2002), 43(11), 1864-1874 CODEN: JLPRAW; ISSN: 0022-2275

PB Lipid Research, Inc.

DT Journal

LA English

Intestinal cholesterol absorption is a major determinant of plasma low d. AB lipoprotein-cholesterol (LDL-C) concns. Ezetimibe (SCH 58235) and its analogs SCH 48461 and SCH 58053 are novel potent inhibitors of cholesterol absorption whose mechanism of action is unknown. These studies investigated the effect of SCH 58053 on cholesterol metab. in female In mice fed a low cholesterol rodent diet contq. SCH 58053, 129/Sv mice. cholesterol absorption was reduced by 46% and fecal neutral sterol excretion was increased 67%, but biliary lipid compn. and bile acid synthesis, pool size, and pool compn. were unchanged. the dietary cholesterol content was increased either 10- or 50-fold, those animals given SCH 58053 manifested lower hepatic and biliary cholesterol concns. than did their untreated controls. Cholesterol feeding increased the relative mRNA level for ATP-binding cassette transporter A1 (ABCA1), ABC transporter G5 (ABCG5), and ABC transporter G8 (ABCG8) in the jejunum, and of ABCG5 and ABCG8 in the liver, but the magnitude of this increase was generally less if the mice were given SCH 58053. We conclude that the inhibition of cholesterol absorption effected by this new class of agents is not mediated via changes in either the size or compn. of the intestinal bile acid pool, or the level of mRNA expression of proteins that facilitate cholesterol efflux from the enterocyte, but rather may involve disruption of the uptake of luminal sterol across the microvillus membrane.

IT 163222-33-1, Ezetimibe

RL: DMA (Drug mechanism of action); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of cholesterol absorption by SCH 58053 in the mouse is not mediated via changes in the expression of mRNA for ABCA1, ABCG5, or ABCG8 in the enterocyte)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 7 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
T.4
     2002:716094 CAPLUS
AN
     137:226612
DN
     Antihypertensive agent and cholesterol absorption inhibitor combination
TI
     therapy
IN
     Nichtberger, Steven A.
     Merck & Co., Inc., USA
PΑ
     PCT Int. Appl., 29 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     _ _ _ _ _ _ _ _ _ _ _ _ _ _ _ _ _
                      ----
     WO 2002072104
                                       WO 2002-US6570 - 20020305 -
ΡĨ
                       A2
                            20020919
     WO 2002072104
                      A3
                            20030724
         W:
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2001-274288P
                            20010308
     The invention includes methods for treating atherosclerosis and preventing
     atherosclerotic disease events in a hypertensive patient comprising
     administering to the patient a therapeutically or prophylactically
     effective amt. of at least one antihypertensive compd. in combination with
     a therapeutically effective amt. of a cholesterol absorption inhibitor.
     The invention also includes a compn. comprising at least one
     antihypertensive compd. and a cholesterol absorption inhibitor in
     therapeutically effective amts., and a pharmaceutically acceptable
     carrier.
IT
     163222-33-1, Ezetimibe
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (antihypertensive agent and cholesterol absorption inhibitor
        combination therapy)
RN
     163222-33-1 CAPLUS
CN
     2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
     hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)
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GI

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L4
     ANSWER 8 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:575765 CAPLUS
AN
     137:140435
DN
     Benzopyrancarboxylic acid derivatives with PPAR agonist activity for the
ΤI
     treatment of diabetes and lipid disorders, and their preparation,
     pharmaceutical compositions, and use
     Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.;
IN
     Desai, Ranjit C.
PA
     USA
     U.S. Pat. Appl. Publ., 42 pp.
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 1
                                           APPLICATION NO. DATE
     PATENT NO.
                      KIND DATE
                      _ - - -
                                           -----
                            20020801
PΙ
     US 2002103242
                       A1
                                         US 2001-21667
                                                           20011029 - - -
                                           WO 2001-US49501 20011026
     WO 2002060434
                       A2
                            20020808
     WO 2002060434
                       A3
                            20030619
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-244698P P
                           20001031
     MARPAT 137:140435
os
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A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises AB compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un) substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO2, CH2, (un)substituted NH; n = 1-6; R4 = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un) substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their prepn. is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alk. hydrolysis (100%), to give title compd. II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a no. of specific drugs, is claimed.

II

IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also contg.; prepn. of benzopyrancarboxylic acid derivs. as PPAR agonists for treatment of diabetes and lipid disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

(Reactant or reagent)

163222-32-0 CAPLUS

RN

treatment of vascular disorders)

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L4
     ANSWER 9 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:574958 CAPLUS
ΑN
DN
     137:135087
     Combinations of sterol absorption inhibitor(s) with blood modifier(s) for
TI
     treating vascular conditions
     Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.
IN
PΑ
     Schering Corporation, USA
SO
     PCT Int. Appl., 103 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 5
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
                                            -------------
                                                             _ _ _ _ _ _ _ _
                                            WO 2002-US2013
                                                             20020125
PΙ
     WO 2002058734
                       A2
                            20020801
     WO 2002058734
                       A3
                            20030703
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
         W:
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2002-56680
     US 2002147184
                       Α1
                            20021010
                                                             20020125
                                           US 2002-136968
     US 2002192203
                       A1
                            20021219
                                                             20020501
PRAI US 2001-264275P
                       P
                            20010126
     US 2001-264396P
                       Р
                            20010126
     US 2001-264600P
                       Ρ
                            20010126
     US 2001-324123P
                       Ρ
                            20010921
     US 2001-323839P
                       Р
                            20010921
     US 2002-57323
                       Α3
                            20020125
OS
     MARPAT 137:135087
AΒ
     The present invention provides compns., therapeutic combinations
     and methods including: (a) at least one sterol absorption inhibitor
     administered in an amt. of 0.1-1000 mg/day; and (b) at least one blood
     modifier administered in an amt. of 1-1000 mg/day, which can be useful for
     treating vascular conditions, e.g., diabetes and obesity, and lowering
     plasma levels of sterols in mammals. A sterol absorption inhibitor is an
     azetidinone compd. or a .beta.-lactam, while a blood modifier was selected
     from anticoagulants, antithrombotics, fibrinogen receptor antagonists,
     platelet aggregation inhibitors, hemorheol. agents, lipoprotein assocd.
     coagulation inhibitors, Factor VIIa inhibitors, and Factor Xa inhibitors.
     Prepn. of a sterol inhibitor ezetimibe is described.
IT
     163222-32-0P 163380-15-2P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(combinations of sterol absorption inhibitors with blood modifiers for

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1P, Ezetimibe 163380-16-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 163380-16-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4

ANSWER 10 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

```
2002:574957 CAPLUS
AN
DN
     137:135086
     Combinations of bile acid sequestrant(s) and azetidinone sterol absorption
TI
     inhibitor(s) and treatments for vascular indications
     Davis, Harry R.; Kosoglou, Teddy
IN
     Schering Corporation, USA
PA
     PCT Int. Appl., 138 pp.
so
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 5
     PATENT NO.
                     KIND DATE
                                         APPLICATION NO. DATE
                                          ____
                     A2 20020801 -- WO 2002-US2010 - 20020125
    WO 2002058733
    WO 2002058733
                      C2
                           20021121
                      A3
                           20030626
    WO 2002058733
           AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
            ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
            MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
            SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2003053981
                      A1
                           20030320
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PRAI US 2001-264600P
                      Ρ
                           20010126
    US 2001-323842P
                           20010921
OS
    MARPAT 137:135086
GΙ
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The present invention provides compns., therapeutic combinations and methods including: (a) at least one bile acid sequestrant; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

- L4 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:574956 CAPLUS
- DN 137:129904
- TI Combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases
- IN Kosoglou, Teddy; Davis, Harry R.; Picard, Gilles Jean Bernard
- PA Schering Corporation, USA
- SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2

DT Patent LA English

OS

FAN.	CNI	5																
	PA	PATENT NO.			KI	ND	DATE			APPLICATION NO.					DATE			
	PI WO 2002058732																	
ΡI				A:	2	2002		WO 2002-US2009					20020125					
	WO	2002	0587	32	A.	3	20030703											
		W:					ΑT,											
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			ID,	IL,	IN,	IS,	JP,	KG,	KR,	ΚZ,	LC,	LK,	LR,	LT,	LU,	LV,	MA,	MD,
			MG,	MK,	MN,	MX,	ΜZ,	NO,	NZ,	PH,	PL,	PT,	RO,	RU,	SE,	SG,	SI,	SK,
			SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UZ,	VN,	YU,	ZA,	ZM,	AM,	ΑZ,	BY,
	KG, KZ,		MD,	RU,	ТJ,	TM												
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			CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	ΝL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NΕ,	SN,	TD,	TG
	US 2002151536 US 2002192203			A:	1	2002	1017		U	S 20	02-5	7323		2002	0125			
				A:	1	20021219			U	S 20	02-1	3696	3	2002	0501			
PRAI	US	2001	-264	396P	P		2001	0126										
	US	2001	-323	839P	P		2001	0921										
	US	2002	-573	23	A.	3	2002	0125										

The present invention provides compns., therapeutic combinations and methods including: (a) at least one peroxisome proliferator-activated receptor (PPAR) activator; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. A tablet contained azetidinone 10, lactose monohydrate 55, microcryst. cellulose 20, povidone 4, croscarmellose sodium 8, sodium lauryl sulfate 2, and magnesium stearate 1 mg. The tablet can be coadministered with a tablets contg. a PPAR activator such as ezetimibe. Synthetic prepn. of ezetimibe from fluorohenylazetidinone derivs. is described. The coadministration of 10 mg of ezetimibe with 200 mg of fenofibrate was well tolerated and caused a significant redn. in LDL-C as compared to either drug alone or placebo.

IT 163222-33-1, Ezetimibe.

MARPAT 137:129904

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

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163222-32-0 CAPLUS
RN
     2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
CN
     hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX
     NAME)
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Absolute stereochemistry.

Α3

MARPAT 137:129903

os

GI

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ANSWER 12 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
L4
     2002:574955 CAPLUS
AN
DN
     137:129903
     Combinations of azetidinone sterol absorption inhibitor(s) with
ΤI
     cardiovascular agent(s) for the treatment of vascular conditions
IN
     Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.;
     Hauer, William
     Schering Corporation, USA
PA
     PCT Int. Appl., 105 pp.
so
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 5
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
                             _ _ _ _ _ _
                                                              _ _ _ _
PΙ
     WO 2002058731
                       A2
                            20020801
                                            WO 2002-US1196
                                                              20020125
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             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2003069221
                       A1
                            20030410
                                            US 2002-57339
                                                              20020125
     US 2002192203
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                             20021219
                                            US 2002-136968
                                                              20020501
PRAI US 2001-264275P
                       Ρ
                            20010126
     US 2001-264396P
                       P
                            20010126
     US 2001-264600P
                       P
                            20010126
     US 2001-323842P
                       P
                            20010921
     US 2001-323839P
                       Р
                            20010921
     US 2002-57323
                            20020125
```

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor and (b) at least one cardiovascular agent different from the sterol absorption inhibitor, which can be useful for treating vascular conditions, obesity, diabetes and lowering plasma levels of sterols. Tablets were prepd. contg. cardiovascular agents which can be coadministered with formulations contg., e.g., I. The prepn. of I was given.

Ι

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA-INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

L4

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ANSWER 13 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
     2002:574926 CAPLUS
DN
     137:135094
     The use of substituted azetidinone compounds for the treatment of
TI
     sitosterolemia
IN
     Davis, Harry R.
PΑ
     Schering Corporation, USA
     PCT Int. Appl., 105 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
                                                             DATE
                      _ _ _ _
                       A2
ΡI
     WO 2002058696
                            20020801
                                            WO 2002-US1195
                                                             20020125
     WO 2002058696
                       Α3
                            20030313
         ₩:
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             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                            20021114
     US 2002169134
                                          US 2002-57629
                                                          20020125
                       A1
PRAI US 2001-264645P
                            20010126
                       Ρ
os
     MARPAT 137:135094
GΙ
```

AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical compns. thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concn. of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or

preventing vascular disease and coronary events also are provided. The methodol. and **compns**. of the invention use substituted azetidinone compds., e.g. I (prepn. described).

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 444313-49-9 444313-50-2 444313-51-3 444313-53-5 444313-55-7 444313-57-9 444313-59-1 444313-60-4 444313-61-5

444313-62-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (azetidinone derivs. for treatment of sitosterolemia)

RN 444313-49-9 CAPLUS

CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75330-75-5 CMF C24 H36 O5

Absolute stereochemistry.

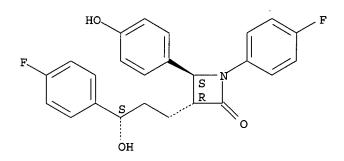
RN 444313-50-2 CAPLUS

CN 1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-.beta.,.delta.,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-, (.beta.R,.delta.R,1S,2S,6S,8S,8aR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).



CM 2

CRN 81093-37-0 CMF C23 H36 O7

RN 444313-51-3 CAPLUS

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 93957-54-1 CMF C24 H26 F N O4

Relative stereochemistry.

Double bond geometry as shown.

RN 444313-53-5 CAPLUS

CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

CM 2

CRN 79902-63-9 CMF C25 H38 O5

Absolute stereochemistry.

RN 444313-55-7 CAPLUS

CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-.beta.,.delta.-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (.beta.R,.delta.R)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

CRN 134523-00-5 CMF C33 H35 F N2 O5

Absolute stereochemistry.

RN 444313-57-9 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-,
mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 287714-41-4 CMF C22 H28 F N3 O6 S

Absolute stereochemistry.

Double bond geometry as shown.

CM 2

CRN 163222-33-1 CMF C24 H21 F2 N O3

RN 444313-59-1 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-, mixt. with (4R,6S)-6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-2H-pyran-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 141750-63-2 CMF C25 H22 F N O3

Absolute stereochemistry. Double bond geometry as shown.

RN 444313-60-4 CAPLUS

CN Cholestyramine, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 11041-12-6 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 444313-61-5 CAPLUS

CN 1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, chloride, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 182815-44-7 CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x . x Cl H

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CRN
                                                                    182815-43-6
                                                                      (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl) x
                                               CMF
                                               CCI
                                                                   PMS
                                                                     CM
                                                                                             4
                                                                      CRN 182815-42-5
                                                                      CMF C12 H27 N2 . C1
H_2C = CH - CH_2 - NH - (CH_2)_6 - N+Me_3
                                                          C1<sup>-</sup>
                                                                     CM
                                                                                            5
                                                                     CRN 92162-19-1
                                                                     CMF
                                                                                        C13 H27 N
H_2C = CH - CH_2 - NH - (CH_2)_9 - Me
                                                                     CM
                                                                                            6
                                                                     CRN
                                                                                       107-11-9
                                                                     CMF
                                                                                      C3 H7 N
H_2C = CH - CH_2 - NH_2
                                                                     CM
                                                                                            7
                                                                     CRN 106-89-8
                                                                     CMF C3 H5 Cl O
                         \text{CH}_2-\text{Cl}
RN
                       444313-62-6 CAPLUS
CN
                       Colestipol, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophen
                        fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
                         (CA INDEX NAME)
                       CM
                                              1
                       CRN 163222-33-1
                       CMF C24 H21 F2 N O3
Absolute stereochemistry. Rotation (-).
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CM

3

CM 2

CRN 50925-79-6 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 163222-32-0P 163380-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
 (prepn. and reaction; azetidinone derivs. for treatment of
 sitosterolemia)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

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ANSWER 14 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
L4
    2002:574915 CAPLUS
AN
DN
    137:119671
    Combinations of nicotinic acid and derivatives thereof and azetidine
TI
     sterol absorption inhibitor(s) and treatments for vascular indications
IN
    Davis, Harry R.; Kosoglou, Teddy
    Schering Corporation, USA
PA
SO
    PCT Int. Appl., 131 pp.
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 5
                                         APPLICATION NO. DATE
    PATENT NO.
                     KIND DATE
                    ---- ------
                                         ______
                                         WO 2002-US2004
PΤ
    WO 2002058685
                     A2
                           20020801
                                                          20020125
                     A3
                           20030501
    WO 2002058685
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            ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
            MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
            SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2002183305
                     A1 20021205
                                        US 2002-57646
                                                       20020125
                      Р
                           20010126
PRAI US 2001-264275P
    US 2001-323842P
                      Р
                           20010921
   MARPAT 137:119671
OS
GI
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AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one of nicotinic acid or derivs. thereof; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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T.4
     ANSWER 15 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN
     2002:487576 CAPLUS
AN
DN
     137:41758
     Sugar-substituted 2-azetidinones useful as hypocholesterolemic agents and
ΤI
     in the treatment of atherosclerosis
IN
     Ghosal, Anima; Zbaida, Shmuel; Chowdhury, Swapan K.; Iannucci, Robert M.;
     Feng, Wenging; Alton, Kevin B.; Patrick, James E.; Davis, Harry R.
PA
     Schering Corporation, USA
     PCT Int. Appl., 33 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 6
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                           ______
                                          WO 2001-US49127 20011217
PΙ
     WO 2002050090
                      A1
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            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
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MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002-31049 20011217 AU 2002031049 Α5 20020701 PRAI US 2000-256875P P 20001220 20011217 WO 2001-US49127 W os MARPAT 137:41758 Hypocholesterolemic sugar-substituted 2-azetidinone compds. are disclosed, AB as are a method of lowering cholesterol by administering these compds., pharmaceutical compns. contq. them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. IT 438576-93-3 RL: RCT (Reactant); RACT (Reactant or reagent) (reaction; sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment) RN 438576-93-3 CAPLUS 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-CN hydroxypropyl]-4-(4-hydroxyphenyl)-, labeled with carbon-14, (3R,4S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1D, glucuronides

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (sugar-substituted 2-azetidinones useful as hypocholesterolemics and in
 atherosclerosis treatment)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-33-1 190448-57-8

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sugar-substituted 2-azetidinones useful as hypocholesterolemics and in atherosclerosis treatment)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

190448-57-8 CAPLUS RN

.beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-CN 3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4ANSWER 16 OF 16 CAPLUS COPYRIGHT 2003 ACS on STN

ΑN 1998:352625 CAPLUS

DN 129:41376

Preparation of sugar-substituted 2-azetidinones useful as ΤI hypocholesterolemic agents

Yumibe, Nathan P.; Alton, Kevin B.; Van Heek, Margaret; Davis, Harry R.; IN Vaccaro, Wayne D.

PA Schering Corp., USA

SO U.S., 18 pp.

CODEN: USXXAM

DΤ Patent

LA English

FAN.CNT 1								
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE			
ΡI	US 5756470	Α	19980526	US 1996-741179	19961029			
	CN 1205707	Α	19990120	CN 1996-199226	19961029			
	CN 1103780	В	20030326					
PRAI	US 1996-741179	A	19961029					
os	MARPAT 129:41376							
GI								

AB Hypocholesterolemic sugar-substituted 2-azetidinones I (R = H, OH, sugar; R1 = alkylene, cycloalkylene, phenylene, alkenylene; G = sugar residue; Q = bond, spiro group; Ar, Ar1 = aryl), are disclosed, as well as a method of lowering cholesterol by administering said compds., pharmaceutical compns. contg. them, and the combination of a sugar-substituted 2-azetidinone cholesterol-lowering agent and a cholesterol biosynthesis inhibitor for the treatment and prevention of atherosclerosis. Thus, 1-O-[4-[trans-(3R,4S)-1-(4-fluorophenyl)-2-oxo-3-[3-[(S)-hydroxy-4-fluorophenylpropyl]]-4-azetidinyl]phenyl]-.beta.-D-glucuronic acid was prepd. as anticholesteremic agent 58 % redn. in plasma cholesterol with 3 mg/kg dose in hamsters.

IT 190448-57-8P 190448-58-9P 190448-60-3P 190448-63-6P 190448-79-4P 208259-77-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 190448-57-8 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190448-58-9 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-1-(4-iodophenyl)-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190448-60-3 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-(.beta.-D-glucopyranosyloxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190448-63-6 CAPLUS
CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190448-79-4 CAPLUS
CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 208259-77-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-[4-[(3-0-.beta.-D-glucopyranosyl-.beta.-D-glucopyranosyl)oxy]phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1P 190448-83-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of sugar substituted azetidinones useful as hypocholesterolemic agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 190448-83-0 CAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-

3-hydroxy-3-(4-iodophenyl)propyl]-4-oxo-2-azetidinyl]phenyl, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis hist

US 6576660

US 2002107233

B1

20020808

Α1

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L2
L3
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             16 S L3 AND COMPOSITION
L4
              9 S L4 AND (ANTIDIABETIC OR HMG OR PPAR)
L5
=> dis 15 1-9 bib abs hitstr
     ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     2003:633275 CAPLUS
AN
     139:169333
DN
ΤI
     Novel anticholesterol compositions and method for using same
     Dudley, Robert; Liao, Shutsung; Song, Ching
IN
PΑ
     U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S. Ser. No. 137,695.
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 8
                                          APPLICATION NO.
                                                           DATE
     PATENT NO.
                     KIND DATE
                                           -----
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                           _____
     US 2003153541
                            20030814
                                          US 2002-174934
                                                            20020619
PΙ
                      A1
                            19990514
                                           WO 1998-US23041 19981030
     WO 9922728
                      A1
            AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW,
            MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,
             TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ,
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                            20030610
                                         US 2000-530443
                                                            20000428
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US 2002-72128

20020208

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20021219
                                            US 2002-137695
                                                             20020502
    US 2002193357
                       A1
PRAI US 1997-63770P
                       P
                            19971031
                       W
    WO 1998-US23041
                            19981030
    US 1999-131728P
                       P
                            19990430
    US 2000-530443
                       A2
                            20000428
    US 2000-560236
                       A2
                            20000428
    US 2001-267493P
                       Р
                            20010208
    US 2001-288643P
                       Ρ
                            20010503
    US 2001-348020P
                       ₽
                            20011108
    US 2002-72128
                       A2
                            20020208
    US 2002-137695
                       A2
                            20020502
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Disclosed are compns., methods, combinations, and kits for treating a disorder related to elevated serum cholesterol concn., for example, atherosclerosis, elevated LDL plasma levels, low HDL plasma levels, hypertriglyceridemia, hyperlipidemia, hypertension, hypercholesterolemia, cholesterol gallstones, lipid storage diseases, obesity, and diabetes. The compns., methods, combinations, and kits of the present invention are pharmaceutical compns. comprising at least two of an LXR receptor modulator, a therapeutically effective amt. of a catechin, and/or a therapeutically effective amt. of a lipid regulating agent, such as a HMG-CoA reductase inhibitor, a fibric acid deriv., niacin, a bile-acid sequestrant, an absorption inhibitor, probucol, raloxifene and its derivs., an azetidinone compd., and an unsatd. omega-3 fatty acid.

IT 163222-33-1, Ezetimibe

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (anticholesterol compns. contg. LXR modulators and lipid regulating agents)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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L5 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:492702 CAPLUS

DN 139:47580

TI Combinations of hormone replacement therapy **composition**(s) and sterol absorption inhibitor(s) and treatments for vascular conditions in post-menopausal women

IN Strony, John T.

PA Schering Corporation, USA

SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 166,942. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
ΡI	US 2003119796	A1	20030626	US 2002-247085	20020919				
	US 2003105028	A1	20030605	US 2002-166942	20020611				

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PRAI US 2001-324118P P 20010921

US 2002-166942 A2 20020611

US 2000-256875P P 20001220

US 2001-23295 A2 20011217
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OS MARPAT 139:47580

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one hormone replacement therapy compn.; and (b) at least one sterol absorption inhibitor which can be useful for treating vascular conditions in post-menopausal women and lowering plasma levels of sterols or 5.alpha.-stanols.

IT 163222-32-OP 163222-33-IP 163380-15-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of sterol absorption inhibitors for the combined use with hormone replacement therapy **compns**. and treatments for vascular conditions in post-menopausal women)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

```
ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L_5
AN
     2002:575765 CAPLUS
DN
     137:140435
     Benzopyrancarboxylic acid derivatives with PPAR agonist activity
ΤI
     for the treatment of diabetes and lipid disorders, and their preparation,
     pharmaceutical compositions, and use
     Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.;
IN
     Desai, Ranjit C.
PA
     USA
     U.S. Pat. Appl. Publ., 42 pp.
SO
     CODEN: USXXCO
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
                     _----
                                           -----
                            20020801
                                          US 2001-21667 - 20011029
PΙ
     US 2002103242
                      A1
     WO 2002060434
                      Α2
                            20020808
                                           WO 2001-US49501 20011026
                      Α3
     WO 2002060434
                            20030619
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
         W:
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
            UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRAI US 2000-244698P P
                           20001031
os
    MARPAT 137:140435
GΙ
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$$R^9$$
 Z
 R^8
 R^6
 R^5
 R^4
 R^6
 R^5
 R^6
 R^5
 R^6
 R^6

A class of benzopyrancarboxylic acid derivs. is disclosed, which comprises AΒ compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH2, CO; R1 = H, OH, halo, (un) substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R1 forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = 0, S, S0, S02, CH2, (un) substituted NH; n = 1-6; R4 = (un) substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un) substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R3R4 or R4R5 = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their prepn. is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH2O(CH2)3Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alk. hydrolysis (100%), to give title compd. II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a no. of specific drugs, is claimed. **163222-33-1**, Ezetimibe IT

II

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also contg.; prepn. of benzopyrancarboxylic acid derivs. as PPAR agonists for treatment of diabetes and lipid disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

(Reactant or reagent)

163222-32-0 CAPLUS

RN

treatment of vascular disorders)

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L5
     ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2002:574958 CAPLUS
DN
     137:135087
     Combinations of sterol absorption inhibitor(s) with blood modifier(s) for
ΤI
     treating vascular conditions
     Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.
IN
PA
     Schering Corporation, USA
     PCT Int. Appl., 103 pp.
SO
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 5
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
                      ____
                            20020801
                                           WO 2002-US2013
                                                             20020125
PΙ
     WO 2002058734
                       A2
                      A3
                            20030703
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             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2002-56680
     US 2002147184
                            20021010
                                                             20020125
                       A1
     US 2002192203
                                           US 2002-136968
                                                             20020501
                            20021219
                       A1
PRAI US 2001-264275P
                            20010126
                       Р
     US 2001-264396P
                       P
                            20010126
     US 2001-264600P
                       Р
                            20010126
     US 2001-324123P
                       P
                            20010921
     US 2001-323839P
                       Р
                            20010921
     US 2002-57323
                       A3
                            20020125
OS
     MARPAT 137:135087
AB
     The present invention provides compns., therapeutic combinations
     and methods including: (a) at least one sterol absorption inhibitor
     administered in an amt. of 0.1-1000 mg/day; and (b) at least one blood
     modifier administered in an amt. of 1-1000 mg/day, which can be useful for
     treating vascular conditions, e.g., diabetes and obesity, and lowering
     plasma levels of sterols in mammals. A sterol absorption inhibitor is an
     azetidinone compd. or a .beta.-lactam, while a blood modifier was selected
     from anticoagulants, antithrombotics, fibrinogen receptor antagonists,
     platelet aggregation inhibitors, hemorheol. agents, lipoprotein assocd.
     coagulation inhibitors, Factor VIIa inhibitors, and Factor Xa inhibitors.
     Prepn. of a sterol inhibitor ezetimibe is described.
     163222-32-0P 163380-15-2P
IT
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
```

(combinations of sterol absorption inhibitors with blood modifiers for

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1P, Ezetimibe 163380-16-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of sterol absorption inhibitors with blood modifiers for treatment of vascular disorders)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 163380-16-3 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-((3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5

ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN

```
ΑN
     2002:574957 CAPLUS
DN
     137:135086
     Combinations of bile acid sequestrant(s) and azetidinone sterol absorption
TI
     inhibitor(s) and treatments for vascular indications
     Davis, Harry R.; Kosoglou, Teddy
IN
     Schering Corporation, USA
PΑ
     PCT Int. Appl., 138 pp.
SO
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 5
     PATENT NO.
                      KIND DATE
                                          APPLICATION NO. DATE
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                                       WO 2002-US2010
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ΡĪ
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2002-57534
     US 2003053981
                      A1
                           20030320
                                                          20020125
PRAI US 2001-264600P
                      Ρ
                            20010126
     US 2001-323842P
                            20010921
                      Ρ
     MARPAT 137:135086
OS
GΙ
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The present invention provides compns., therapeutic combinations and methods including: (a) at least one bile acid sequestrant; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of bile acid sequestrant and azetidinone sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- L5 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:574956 CAPLUS
- DN 137:129904
- TI Combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases
- IN Kosoglou, Teddy; Davis, Harry R.; Picard, Gilles Jean Bernard
- PA Schering Corporation, USA
- SO PCT Int. Appl., 163 pp.

CODEN: PIXXD2
Patent

LA English FAN.CNT 5

DT

APPLICATION NO. DATE KIND DATE PATENT NO. ---------_____ ______ WO 2002-US2009 20020801 20020125 PΙ WO 2002058732 A2 WO 2002058732 A3 20030703 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2002151536 US 2002-57323 20020125 A1 20021017 20021219 US 2002-136968 20020501 US 2002192203 Α1 PRAI US 2001-264396P P 20010126 Ρ 20010921 US 2001-323839P 20020125 US 2002-57323 Α3

OS MARPAT 137:129904

The present invention provides compns., therapeutic combinations AΒ and methods including: (a) at least one peroxisome proliferator-activated receptor (PPAR) activator; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. A tablet contained azetidinone 10, lactose monohydrate 55, microcryst. cellulose 20, povidone 4, croscarmellose sodium 8, sodium lauryl sulfate 2, and magnesium stearate 1 mg. The tablet can be coadministered with a tablets contq. a PPAR activator such as ezetimibe. Synthetic prepn. of ezetimibe from fluorohenylazetidinone derivs. is described. The coadministration of 10 mg of ezetimibe with 200 mg of fenofibrate was well tolerated and caused a significant redn. in LDL-C as compared to either drug alone or placebo. TI163222-33-1, Ezetimibe.

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of peroxisome proliferator-activated receptor activators and sterol absorption inhibitors for treatment of vascular diseases)

```
RN 163222-32-0 CAPLUS
CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-
hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)
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Absolute stereochemistry.

US 2002-57323

MARPAT 137:129903

OS

GI

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ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L5
     2002:574955 CAPLUS
AN
DN
     137:129903
     Combinations of azetidinone sterol absorption inhibitor(s) with
TI
     cardiovascular agent(s) for the treatment of vascular conditions
     Kosoglou, Teddy; Ress, Rudyard Joseph; Strony, John; Veltri, Enrico P.;
IN
     Hauer, William
PA
     Schering Corporation, USA
    PCT Int. Appl., 105 pp.
ŞQ
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 5
                                           APPLICATION NO.
     PATENT NO.
                      KIND DATE
                                                             DATE
PΙ
     WO 2002058731
                       A2
                            20020801
                                           WO 2002-US1196
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             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
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             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2002-57339
     US 2003069221
                       A1
                            20030410
                                                             20020125
    US 2002192203
                       A1
                            20021219
                                           US 2002-136968
                                                             20020501
PRAI US 2001-264275P
                            20010126
                       Ρ
                       Р
    US 2001-264396P
                            20010126
                       Р
     US 2001-264600P
                            20010126
                       Р
     US 2001-323842P
                            20010921
    US 2001-323839P
                       Р
                            20010921
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20020125

А3

AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one sterol absorption inhibitor and (b) at least one cardiovascular agent different from the sterol absorption inhibitor, which can be useful for treating vascular conditions, obesity, diabetes and lowering plasma levels of sterols. Tablets were prepd. contg. cardiovascular agents which can be coadministered with formulations contg., e.g., I. The prepn. of I was given.

Ι

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 163222-33-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of azetidinone sterol absorption inhibitor(s) with cardiovascular agent(s) for the treatment of vascular conditions)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L5
ΑN
     2002:574926 CAPLUS
DN
     137:135094
ΤI
     The use of substituted azetidinone compounds for the treatment of
     sitosterolemia
IN
     Davis, Harry R.
PΑ
     Schering Corporation, USA
SO
     PCT Int. Appl., 105 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                            DATE
                                           APPLICATION NO.
                                                             DATE
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                            _____
                                            ______
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PΙ
     WO 2002058696
                       A2
                            20020801
                                           WO 2002-US1195
                                                             20020125
     WO 2002058696
                       А3
                            20030313
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             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002169134
                       A1
                            20021114
                                           US 2002-57629
                                                             20020125
PRAI US 2001-264645P
                       Ρ
                            20010126
os
     MARPAT 137:135094
GI
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AB The invention discloses the use of sterol absorption-inhibiting compds., pharmaceutical compns. thereof, therapeutic combinations, and their use in combination with other lipid-lowering agents to treat or prevent sitosterolemia and/or to lower the concn. of sterol(s) other than cholesterol in plasma or tissue of a mammal. Methods of treating or

preventing vascular disease and coronary events also are provided. The methodol. and compns. of the invention use substituted azetidinone compds., e.g. I (prepn. described).

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 444313-49-9 444313-50-2 444313-51-3 444313-53-5 444313-55-7 444313-57-9 444313-59-1 444313-60-4 444313-61-5 444313-62-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(azetidinone derivs. for treatment of sitosterolemia)

RN 444313-49-9 CAPLUS

CN Butanoic acid, 2-methyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, (2S)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 75330-75-5 CMF C24 H36 O5

Absolute stereochemistry.

RN 444313-50-2 CAPLUS

1-Naphthaleneheptanoic acid, 1,2,6,7,8,8a-hexahydro-.beta.,.delta.,6-trihydroxy-2-methyl-8-[(2S)-2-methyl-1-oxobutoxy]-,
(.beta.R,.delta.R,1S,2S,6S,8S,8aR)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N 03

Absolute stereochemistry. Rotation (-).

CM 2

CRN 81093-37-0 CMF C23 H36 O7

Absolute stereochemistry.

RN 444313-51-3 CAPLUS

CN 6-Heptenoic acid, 7-[3-(4-fluorophenyl)-1-(1-methylethyl)-1H-indol-2-yl]-3,5-dihydroxy-, (3R,5S,6E)-rel-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 93957-54-1 CMF C24 H26 F N O4

Relative stereochemistry.

Double bond geometry as shown.

RN 444313-53-5 CAPLUS

CN Butanoic acid, 2,2-dimethyl-, (1S,3R,7S,8S,8aR)-1,2,3,7,8,8a-hexahydro-3,7-dimethyl-8-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-1-naphthalenyl ester, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 79902-63-9 CMF C25 H38 O5

Absolute stereochemistry.

RN 444313-55-7 CAPLUS

CN 1H-Pyrrole-1-heptanoic acid, 2-(4-fluorophenyl)-.beta.,.delta.-dihydroxy-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-, (.beta.R,.delta.R)-, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 134523-00-5 CMF C33 H35 F N2 O5

Absolute stereochemistry.

RN 444313-57-9 CAPLUS

CN 6-Heptenoic acid, 7-[4-(4-fluorophenyl)-6-(1-methylethyl)-2[methyl(methylsulfonyl)amino]-5-pyrimidinyl]-3,5-dihydroxy-, (3R,5S,6E)-,
mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 287714-41-4 CMF C22 H28 F N3 O6 S

Absolute stereochemistry. Double bond geometry as shown.

CM 2

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

RN 444313-59-1 CAPLUS

2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)-, mixt. with (4R,6S)-6-[(1E)-2-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]ethenyl]tetrahydro-4-hydroxy-2H-pyran-2-one (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 141750-63-2 CMF C25 H22 F N O3

Absolute stereochemistry.

Double bond geometry as shown.

RN 444313-60-4 CAPLUS

CN Cholestyramine, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 11041-12-6 CMF Unspecified CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

RN 444313-61-5 CAPLUS

CN 1-Hexanaminium, N,N,N-trimethyl-6-(2-propenylamino)-, chloride, polymer with (chloromethyl)oxirane, 2-propen-1-amine and N-2-propenyl-1-decanamine, hydrochloride, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI) (CA INDEX NAME)

CM 1

CRN 163222-33-1 CMF C24 H21 F2 N O3

Absolute stereochemistry. Rotation (-).

CM 2

CRN 182815-44-7 CMF (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl)x . x Cl H

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CRN
                182815-43-6
                (C13 H27 N . C12 H27 N2 . C3 H7 N . C3 H5 Cl O . Cl) x
           CMF
           CCI
               PMS
                CM
                CRN 182815-42-5
                CMF C12 H27 N2 . Cl
H_2C = CH - CH_2 - NH - (CH_2)_6 - N + Me_3
             ● cl-
                CM
                     5
                CRN 92162-19-1
                CMF C13 H27 N
H_2C = CH - CH_2 - NH - (CH_2)_9 - Me
                CM
                     6
                CRN 107-11-9
                CMF C3 H7 N
H_2C = CH - CH_2 - NH_2
                CM
                     7
                CRN 106-89-8
                CMF C3 H5 Cl O
     CH_2-Cl
RN
     444313-62-6 CAPLUS
CN
     Colestipol, mixt. with (3R,4S)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)]
     fluorophenyl)-3-hydroxypropyl]-4-(4-hydroxyphenyl)-2-azetidinone (9CI)
     (CA INDEX NAME)
     CM
          1
     CRN 163222-33-1
     CMF C24 H21 F2 N O3
Absolute stereochemistry. Rotation (-).
```

CM

3

CM 2

CRN 50925-79-6 CMF Unspecified

CCI PMS, MAN

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

IT 163222-32-0P 163380-15-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction; azetidinone derivs. for treatment of sitosterolemia)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 163380-15-2 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3R)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
L_5
     2002:574915 CAPLUS
AN
DN
     137:119671
     Combinations of nicotinic acid and derivatives thereof and azetidine
TI
     sterol absorption inhibitor(s) and treatments for vascular indications
IN
     Davis, Harry R.; Kosoglou, Teddy
     Schering Corporation, USA
PΑ
SO
     PCT Int. Appl., 131 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 5
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
                     ---- -----
                                           WO 2002-US2004
ΡI
     WO 2002058685
                      A2
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                                                            20020125
     WO 2002058685
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             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
             SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2002183305
                     A1 20021205
                                         US 2002-57646
                                                         20020125
                      Ρ
                           20010126
PRAI US 2001-264275P
     US 2001-323842P
                      P
                           20010921
     MARPAT 137:119671
OS
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AB The present invention provides compns., therapeutic combinations and methods including: (a) at least one of nicotinic acid or derivs. thereof; and (b) at least one substituted azetidinone or substituted .beta.-lactam sterol absorption inhibitor which can be useful for treating vascular conditions, diabetes, obesity and lowering plasma levels of sterols. The in vivo efficacy of I as a cholesterol absorption inhibitor was detd. in hamsters.

IT 163222-33-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-33-1 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-

hydroxypropyl]-4-(4-hydroxyphenyl)-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

IT 163222-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(combinations of nicotinic acid and derivs. and azetidine sterol absorption inhibitor(s) for treatment of vascular indications)

RN 163222-32-0 CAPLUS

CN 2-Azetidinone, 1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3hydroxypropyl]-4-[4-(phenylmethoxy)phenyl]-, (3R,4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

=> dis hist

L1

(FILE 'HOME' ENTERED AT 10:50:17 ON 07 SEP 2003)

FILE 'REGISTRY' ENTERED AT 10:50:27 ON 07 SEP 2003

STRUCTURE UPLOADED

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FILE 'CAPLUS' ENTERED AT 10:52:15 ON 07 SEP 2003

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